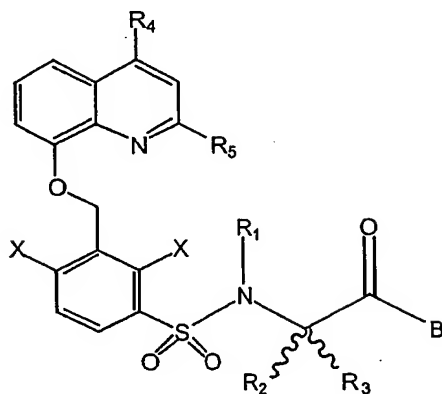


**CLAIMS**

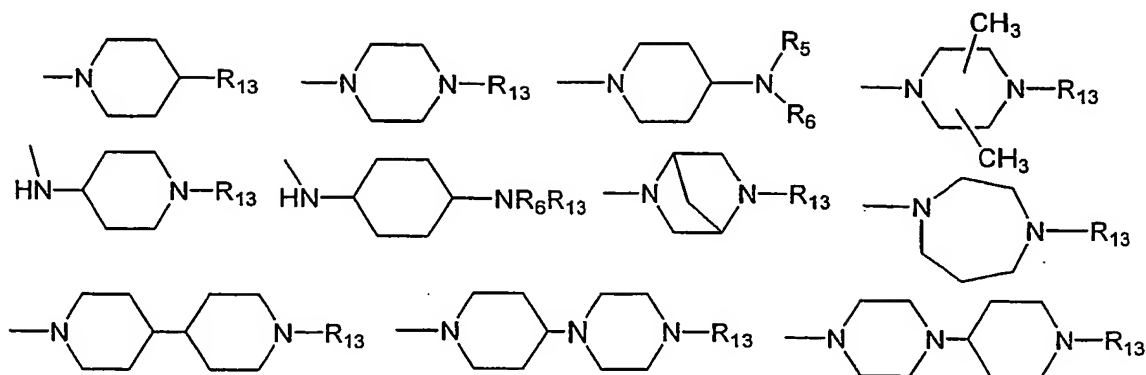
## 1. Compounds of general formula (I):



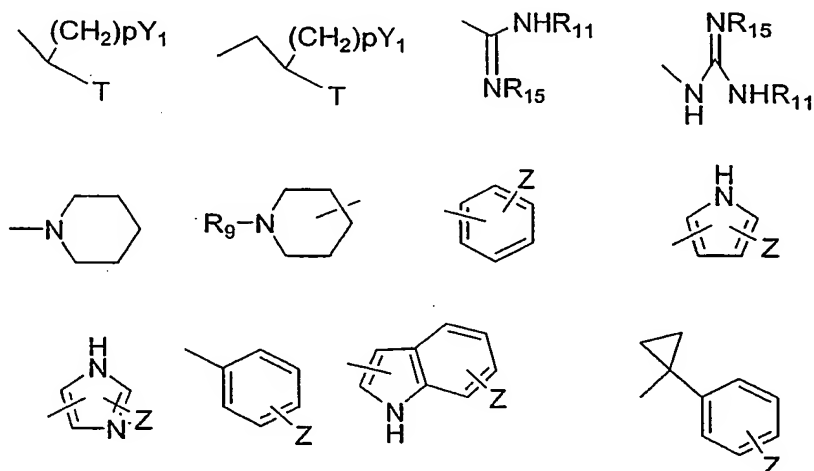
(I)

in which

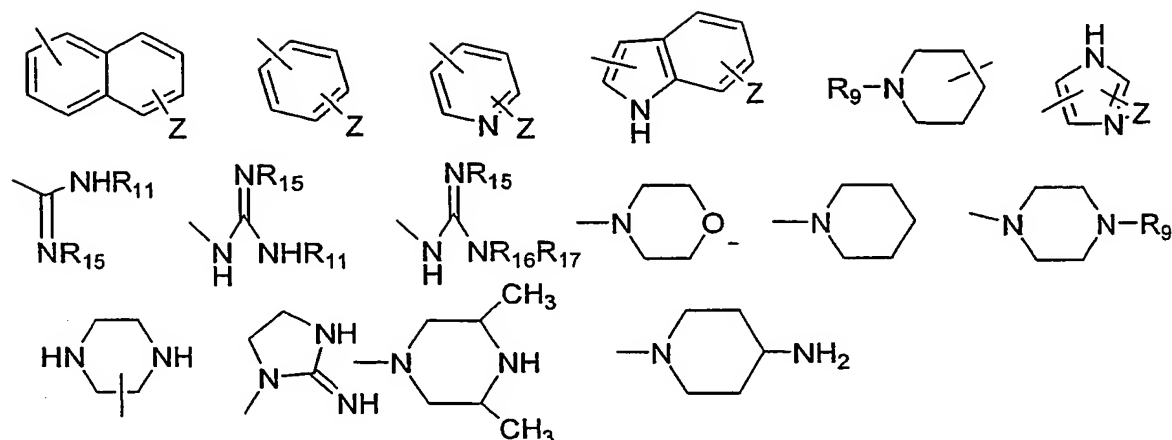
- $R_1$  is a hydrogen atom or a  $C_1$ - $C_4$  alkyl group;
- $R_2$  and  $R_3$ , which can be the same or different, are a  $C_1$ - $C_4$  alkyl group, or  $R_2$  and  $R_3$ , together with the carbon atom which they are linked to, form a cyclic aliphatic group having 3 to 7 carbon atoms or a heterocyclic aliphatic group having 3 to 7 atoms, one or two of which are selected from the group N, O, S and the others being C atoms;
- $R_4$  and  $R_5$ , which can be the same or different, are a hydrogen atom or a  $C_1$ - $C_4$  alkyl group;
- $X$  is selected from the group consisting of halogen,  $OR_1$ ,  $SR_1$ , CN,  $C_1$ - $C_4$  alkyl;
- $B$  has at least one amino group with basic characteristics or a tetraalkylammonium group and can be selected from the group consisting of:
  - $NR_6(CH_2)_nNHCOY$ ,  $NR_6(CH_2)_nN(R_6)-Y$ ,  $NR_6(CH_2)_nN(Y)_2$ ,  $NR_6Y$ ,  $N(Y)_2$ ,  $N(Y)(CH_2)_pY_1$  and from the residues:



- $R_6$  is a hydrogen atom,  $C_1$ - $C_6$  alkyl,
- $n = 1$ -12 and
- $Y$  is selected from: hydrogen,  $(CH_2)_p Y_1$ ,  $(CH_2)_p NR_6 Y_1$ ,  $(CH_2)_p N(Y_1)_2$ ,  
5  $NR_5 R_6$ ,  $-NR_6(CH_2)_q Y_1$  or from the following residues:



- $T$  is selected from the group of  $-NR_7 R_8$ ,  $-NR_{14} R_{18} R_{19}$ ,  $-OR_6$ ;
- $R_7$  and  $R_8$ , which can be the same or different, are a hydrogen atom, a  
10  $C_1$ - $C_4$  alkyl group, a cyclohexyl group, or  $NR_7 R_8$  together are a group selected from :i) guanidine optionally substituted with 1 or 2  $C_1$ - $C_4$  alkyl or cyclohexyl groups, ii) a 5-7 membered nitrogen heterocycle optionally containing another heteroatom selected from O, N, S;
- $Y_1$  is selected from the group consisting of  $NR_7 R_8$ ,  $NR_{14} R_{18} R_{19}$  or from the  
15 following residues:



- Z is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, OR<sub>6</sub>, SR<sub>6</sub>, CF<sub>3</sub>, OCOR<sub>6</sub>, COR<sub>10</sub>, NHCOR<sub>6</sub>, SO<sub>2</sub>R<sub>6</sub>, SOR<sub>6</sub>, CO<sub>2</sub>R<sub>6</sub>, N(R<sub>6</sub>)<sub>2</sub>, Cl, Br, NO<sub>2</sub>, NH<sub>2</sub>, CN, F, imidazole, phenyl, amidine, guanidine, guanidyl-methyl;
- 5 - R<sub>9</sub> is selected from the group consisting of hydrogen, -(CH<sub>2</sub>)<sub>q</sub>-L, wherein L is selected from the group of -OH, -NR<sub>5</sub>R<sub>6</sub>, -NR<sub>14</sub>R<sub>18</sub>R<sub>19</sub>, amidine optionally substituted with 1 or 2 C<sub>1</sub>-C<sub>4</sub> alkyl groups, guanidine optionally substituted with 1 or 2 C<sub>1</sub>-C<sub>4</sub> alkyl groups;
- R<sub>10</sub> is selected from the group consisting of OR<sub>6</sub>, NR<sub>6</sub>R<sub>12</sub>;
- 10 - R<sub>11</sub> is selected from the group consisting of hydrogen, -(CH<sub>2</sub>)<sub>q</sub>-L, -(CH<sub>2</sub>)<sub>p</sub>-NR<sub>4</sub>-(CH<sub>2</sub>)<sub>q</sub>-L;
- R<sub>12</sub> is a hydrogen atom, C<sub>1</sub>-C<sub>6</sub> alkyl, COR<sub>6</sub>,
- R<sub>13</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, -(CH<sub>2</sub>)<sub>p</sub>W(CH<sub>2</sub>)<sub>q</sub>Y<sub>1</sub>, Y, -COY, -CH<sub>2</sub>-Y;
- 15 - R<sub>15</sub> is selected from the group consisting of hydrogen or straight or branched C<sub>1</sub>-C<sub>4</sub> alkyl groups;
- the -NR<sub>16</sub>R<sub>17</sub> group is a 5-7 membered nitrogen aliphatic heterocycle optionally containing another heteroatom selected from O, S, N;
- the -NR<sub>14</sub>R<sub>18</sub>R<sub>19</sub> group is a quaternary ammonium group in which: R<sub>14</sub>
- 20 is selected from the group consisting of straight or branched C<sub>1</sub>-C<sub>4</sub> alkyl groups, R<sub>18</sub> and R<sub>19</sub>, which can be the same or different, are a straight or branched C<sub>1</sub>-C<sub>4</sub> alkyl group, or -NR<sub>18</sub>R<sub>19</sub> is a 5-7 membered nitrogen

heterocycle optionally containing another heteroatom selected from O, N, S.

-  $W = CH_2, O, S, NR_4, N(R_4)_2$

-  $p = 1-6, q = 1-6$ ; and

the pharmacologically acceptable salts thereof with inorganic or organic acids

5 selected from the group of: hydrochloric, hydrobromic, hydroiodic, sulfuric, phosphoric, acetic, trifluoroacetic, propionic, oxalic, malic, maleic, succinic, malonic, aspartic, glutamic acids and possible optical isomers or their mixtures, including the racemates.

2. Compounds as claimed in claim 1, in which

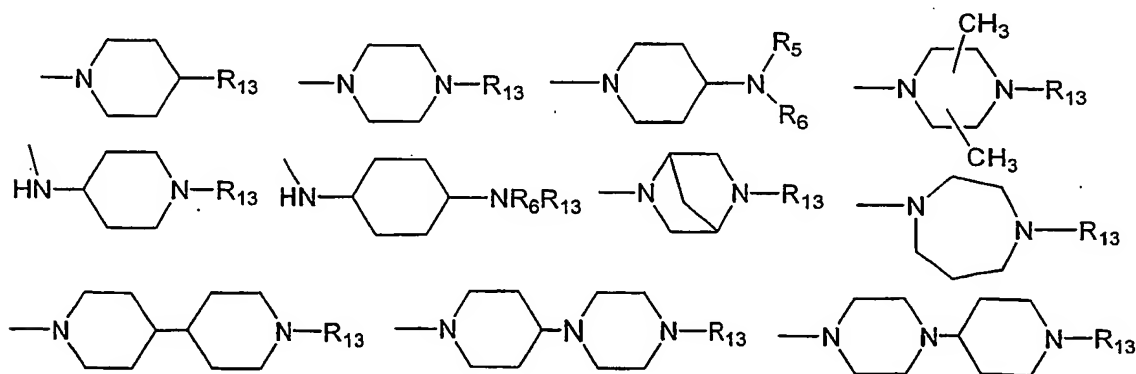
10 -  $R_1$  is a hydrogen atom or a  $C_1$ - $C_4$  alkyl group;

-  $R_2$  and  $R_3$ , which can be the same or different, are a  $C_1$ - $C_4$  alkyl group, or  $R_2$  and  $R_3$ , together with the carbon atom which they are linked to, form a cyclic aliphatic group having 3 to 7 carbon atoms or a heterocyclic aliphatic group having 3 to 7 atoms one or two of which are selected from the group of  
15 N, O, S and the other being C atoms;

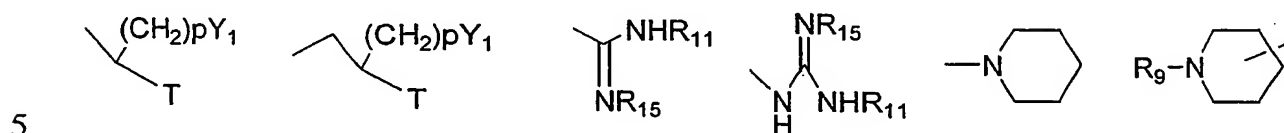
-  $R_4$  and  $R_5$ , which can be the same or different, are a hydrogen atom or a  $C_1$ - $C_4$  alkyl group;

-  $X$  is selected from the group consisting of halogen,  $OR_1$ ,  $SR_1$ , CN,  $C_1$ - $C_4$  alkyl;

20 -  $B$  has at least one amino group with basic characteristics or a tetraalkylammonium and can be selected from the group consisting of:

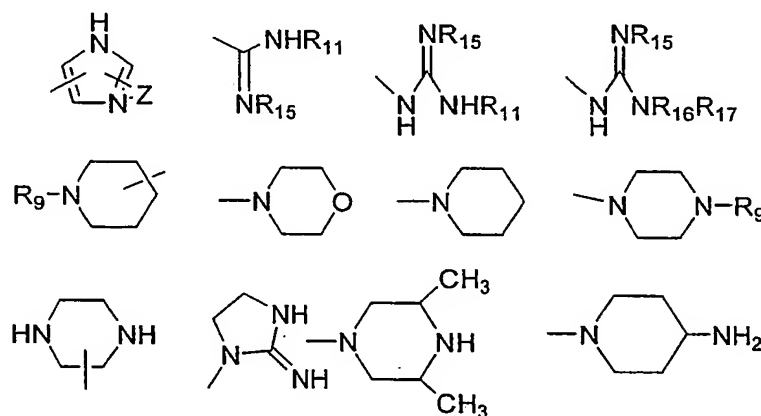


- $R_6$  is a hydrogen atom,  $C_1$ - $C_6$  alkyl;
- $Y$  is selected from: hydrogen,  $(CH_2)_p Y_1$ ,  $(CH_2)_p NR_6 Y_1$ ,  $(CH_2)_p N(Y_1)_2$ ,  $NR_5 R_6$ ,  $-NR_6(CH_2)_p Y_1$  or from the following residues:



- $T$  is selected from the group of  $-NR_7 R_8$ ,  $-NR_{14} R_{18} R_{19}$ ,  $-OR_6$ ;
- $R_7$  and  $R_8$ , which can be the same or different, are a hydrogen atom, a  $C_1$ - $C_4$  alkyl group, or  $NR_7 R_8$  is a group selected from : i) guanidine optionally substituted with 1 or 2  $C_1$ - $C_4$  alkyl groups, cyclohexyl, ii) a 5-7 membered nitrogen heterocycle optionally containing another heteroatom selected from O, N, S;
- $Y_1$  is selected from the group consisting of  $NR_7 R_8$ ,  $NR_{14} R_{18} R_{19}$  or from the following residues:

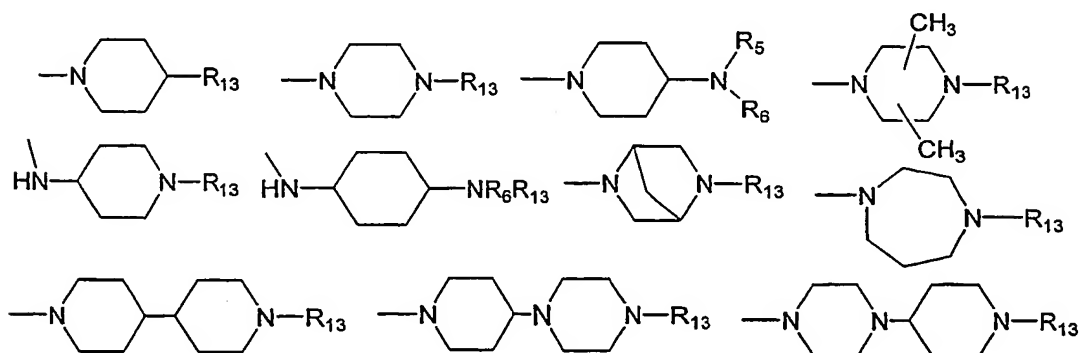
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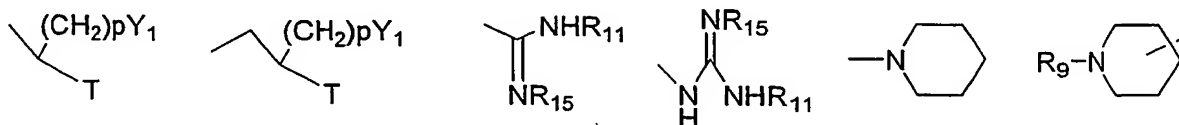
- $Z$  is selected from the group consisting of H,  $C_1$ - $C_6$  alkyl,  $OR_6$ ,  $SR_6$ ,  $CF_3$ ,  $OCOR_6$ ,  $COR_{10}$ ,  $NHCOR_6$ ,  $SO_2 R_6$ ,  $SOR_6$ ,  $CO_2 R_6$ ,  $N(R_6)_2$ ,  $C_1$ , Br,  $NO_2$ ,  $NH_2$ , CN, F, imidazole, phenyl, amidine, guanidine, guanidyl-methyl;
- $R_9$  is selected from the group consisting of hydrogen,  $-(CH_2)_q L$ , wherein  $L$  is selected from the  $-OH$  group,  $-NR_5 R_6$ ,  $-NR_{14} R_{18} R_{19}$ , amidine optionally substituted with 1 or 2  $C_1$ - $C_4$  alkyl groups, guanidine optionally substituted with 1 or 2  $C_1$ - $C_4$  alkyl groups;

20

- $R_{10}$  is selected from the group consisting of  $OR_6$ ,  $NR_6R_{12}$ ;
- $R_{11}$  is selected from the group consisting of hydrogen,  $-(CH_2)_q-L$ ,  $-(CH_2)_p-NR_4-(CH_2)_q-L$ ;
- $R_{12}$  is a hydrogen atom,  $C_1$ - $C_6$  alkyl,  $COR_6$ ;
- 5 -  $R_{13}$  is selected from the group consisting of H,  $C_1$ - $C_6$  alkyl,  $-(CH_2)_pW(CH_2)_qY_1$ ,  $Y$ ,  $-COY$ ,  $-CH_2-Y$ ;
- $R_{14}$  is selected from the group consisting of straight or branched  $C_1$ - $C_4$  alkyl groups;
- $R_{15}$  is selected from the group consisting of hydrogen or straight or  
10 branched  $C_1$ - $C_4$  alkyl groups;
- the  $-NR_{16}R_{17}$  group is a 5-7 membered nitrogen aliphatic heterocycle optionally containing another heteroatom selected from O, S, N;
- the  $-NR_{14}R_{18}R_{19}$  group is a quaternary ammonium group in which:  $R_{14}$  is selected from the group consisting of straight or branched  $C_1$ - $C_4$  alkyl  
15 groups,  $R_{18}$  and  $R_{19}$ , which can be the same or different, are a straight or branched  $C_1$ - $C_4$  alkyl group, or  $-NR_{18}R_{19}$  is a 5-7 membered nitrogen heterocycle optionally containing another heteroatom selected from O, N, S;
- $W = CH_2, O, S, NR_4, N(R_4)_2$ ;
- $p = 1-6, q = 1-6$ .
- 20 3. Compounds as claimed in claim 2, of general formula (I), in which:
- **B** is selected from the group consisting of the residues:



Y is selected from:  $(\text{CH}_2)_p\text{Y}_1$ ,  $(\text{CH}_2)_p\text{NR}_6\text{Y}_1$ ,  $(\text{CH}_2)_p\text{N}(\text{Y}_1)_2$ ,  $\text{NR}_5\text{R}_6$ , or from the following residues:



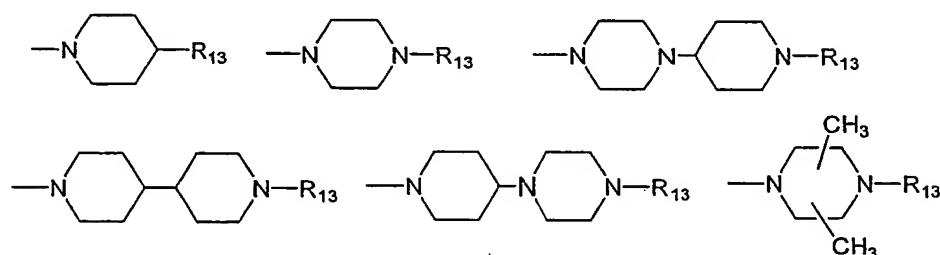
5 in which T is selected from the group of  $-\text{NR}_7\text{R}_8$ ,  $-\text{OR}_6$  and the other substituents are as defined in claim 2.

4. Compounds as claimed in claim 3, in which:

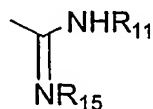
- $\text{R}_1$  is a hydrogen atom or methyl;
- $\text{R}_2$  and  $\text{R}_3$ , which can be the same or different, are selected from methyl
- 10 or ethyl, or  $\text{R}_2$  and  $\text{R}_3$ , together with the carbon atom which they are linked to, form a cyclic aliphatic group having 3 to 7 carbon atoms;
- $\text{R}_4$  and  $\text{R}_5$ , which can be the same or different, are a hydrogen or a methyl;

- X is a chlorine atom;

15 - B is a group selected from:



in which  $\text{R}_{13}$  is H, or a  $\text{Y} = \text{Y}_1$  group in which  $\text{Y}_1$  is



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- $\text{R}_{11}$  is selected from the group consisting of hydrogen,  $-(\text{CH}_2)_q\text{-L}$ ,  $-(\text{CH}_2)_p\text{-NR}_4\text{-(CH}_2)_q\text{-L}$  wherein L is selected from  $-\text{OH}$ ,  $-\text{NR}_5\text{R}_6$ , amidine optionally substituted with 1 or 2  $\text{C}_1\text{-C}_4$  alkyl groups, guanidine optionally substituted with 1 or 2  $\text{C}_1\text{-C}_4$  alkyl groups;

and the other substituents are as defined in claim 2.

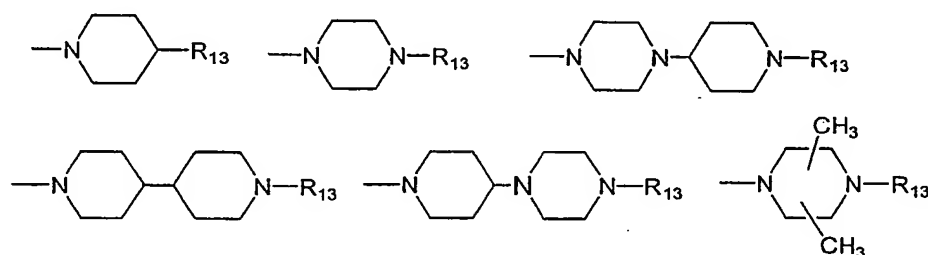
5. Compounds as claimed in claim 3, of general formula (I) in which:

-  $R_2$  and  $R_3$ , which can be the same or different, are selected from methyl or ethyl, or  $R_2$  and  $R_3$ , together with the carbon atom which they are linked to, form a cyclic aliphatic group having 3 to 7 carbon atoms;

-  $R_4$  and  $R_5$ , which can be the same or different, are a hydrogen or a methyl,

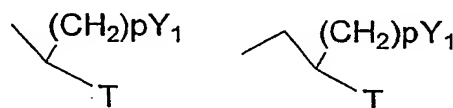
- X is a chlorine atom;

- B contains at least two amino groups with basic characteristics, in the free or salified form, and is selected from the group of:



in which  $R_{13}$  is  $\text{COY}$ ,  $\text{CH}_2\text{Y}$ ,  $-(\text{CH}_2)_p\text{W}(\text{CH}_2)_q\text{Y}_1$ ,

- Y is a group  $(\text{CH}_2)_p\text{Y}_1$ , or is selected from:



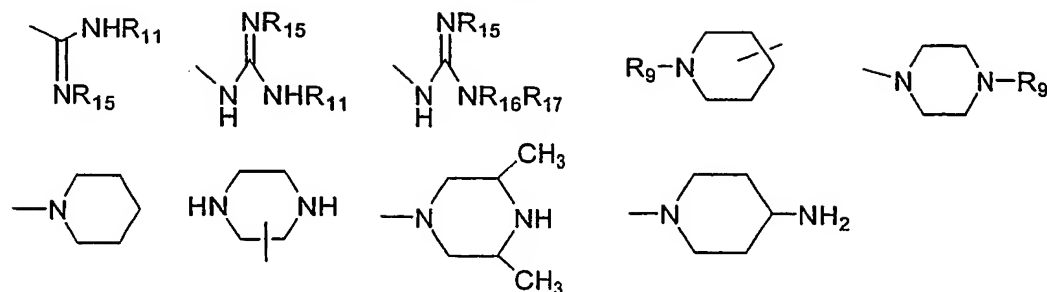
wherein T is selected from  $-\text{NR}_7\text{R}_8$ ,  $-\text{OR}_6$ ;

-  $R_7$  and  $R_8$ , which can be the same or different, are a hydrogen atom, a  $\text{C}_1$ - $\text{C}_4$  alkyl group, or  $\text{NR}_7\text{R}_8$  is a group selected from : i) guanidine optionally substituted with 1 or 2  $\text{C}_1$ - $\text{C}_4$  alkyl groups, cyclohexyl, ii) a 5-7 membered nitrogen heterocycle optionally containing another heteroatom selected from O, N, S;

-  $\text{Y}_1$  is selected from the group consisting of  $-\text{NR}_7\text{R}_8$  and from the residues



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-  $R_9$  is selected from the group consisting of hydrogen,  $-(CH_2)_q-L$ , wherein L is selected from the group  $-NR_5R_6$ , amidine optionally substituted with 1 or 2  $C_1$ - $C_4$  alkyl groups, guanidine optionally substituted with 1 or 2  $C_1$ - $C_4$  alkyl groups;  
and the other substituents are as defined in claim 2.

6. Compounds as claimed in claim 2, of general formula (I), containing at least one tetraalkyl ammonium, in which:

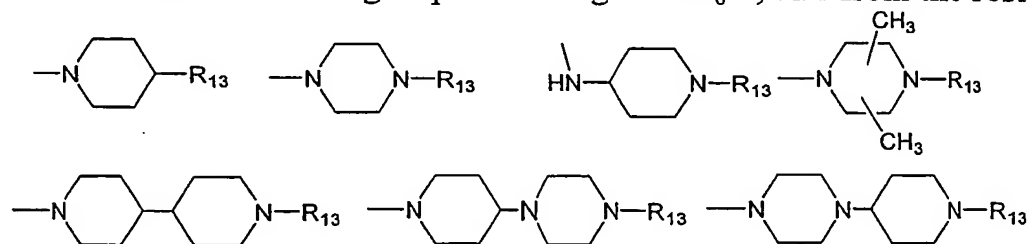
-  $R_1$  is a hydrogen atom or methyl;

10 -  $R_2$  and  $R_3$ , which can be the same or different, are selected from methyl or ethyl, or  $R_2$  and  $R_3$ , together with the carbon atom which they are linked to, form a cyclic aliphatic group having 3 to 7 carbon atoms;

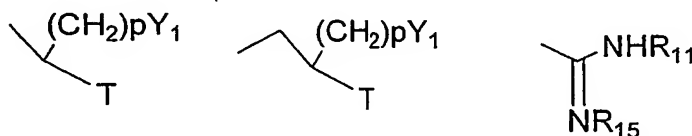
-  $R_4$  and  $R_5$ , which can be the same or different, are a hydrogen or a methyl;

15 - X is a chlorine atom;

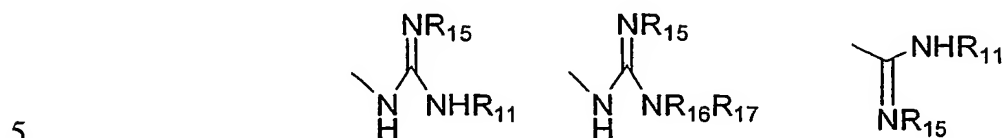
- B is selected from the group consisting of  $NR_6Y$ , and from the residues:



- Y is selected from: Y, COY,  $(CH_2)_pY_1$ ,  $NR_6(CH_2)_qY_1$  and from the residues:



- T is selected from the group -NR<sub>7</sub>R<sub>8</sub>, -NR<sub>14</sub>R<sub>18</sub>R<sub>19</sub>, -OR<sub>6</sub>;
- Y<sub>1</sub> is selected from the group consisting of -NR<sub>7</sub>R<sub>8</sub>, -NR<sub>7</sub>R<sub>8</sub>R<sub>14</sub> or from the following residues:



and the other substituents are as defined in claim 2.

7. Compounds of general formula (I), as claimed in claims 1 to 6, which are:

- N-[2-[4-(2-(S)-amino-6-dimethylamino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxy-methyl)-benzenesulfonamide trifluoroacetate;
- N-{2-[4-(6-guanidinoethyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)benzenesulfonamido -2-methyl-propionamide tris trifluoroacetate;
- 15 - 4-{2-[2,4-Dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzene-sulfonylamino]-2-methyl-propionyl}-piperazine-1-carboxamidine;
- N-[2-[4-(2-(S)-amino-5-guanidino-pentanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 20 - N-{2-[4-(6-aminoethyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzenesulfonamide tris trifluoroacetate;
- N-{2-[4-(piperazin-2-yl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzenesulfonamide
- 25 tris trifluoroacetate;
- N-{2-[4-(piperazin-1-ylacetyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzene-

- sulfonamide bis trifluoroacetate;
- N-{2-[4-2-(piperidin-4-yl-acetyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzene-sulfonamide bis trifluoroacetate;
  - 5 - N-{2-[4-[N-(4-piperidyl)glycyl]-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzene-sulfonamide tris trifluoroacetate;
  - N-{2-[4-(4-(2-aminoethyl)piperazin-1-yl)acetyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-  
10 benzenesulfonamide tetra trifluoroacetate;
  - N-{2-[4-(3-(R)-Amino-6-guanidino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - N-{2-[4-(3-(S)-amino-6-dimethylamino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-  
15 benzenesulfonamide tris trifluoroacetate;
  - N-{2-[4-(3-(S)-amino-7-dimethylamino-heptanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - 20 - N-(3-Amino-propyl)-4-{2-[2,4-dichloro-3-(2-methyl-quinolin-8-yloxy-methyl)-benzenesulfonylamino]-2-methyl-propionyl}-piperazine-1-carboxamidine tris trifluoroacetate;
  - N-[2-[4-(2-(S)-amino-5-dimethylamino-pentanoyl))-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-8-quinolinoxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - 25 - (S)-N-{2-[1'-(2-Amino-5-guanidino-pentanoyl)-[4,4']bipiperidiny-1-yl]-1,1-dimethyl-2-oxo-ethyl}-2,4-dichloro-3-(2-methyl-quinolin-8-yloxy-methyl)-benzenesulfonamide;

- 2,4-Dichloro-N-(2-{4-[2-(3,5-dimethyl-piperazin-1-yl)-ethyl]-3,5-dimethyl-piperazin-1-yl}-1,1-dimethyl-2-oxo-ethyl)-3-(2-methyl-4a,8a-dihydro-quinolin-8-yloxymethyl)-benzenesulfonamide;
- N-(2-{4-[4-(2-(S)Amino-5-guanidino-pentanoyl)-piperazin-1-yl]-piperidin-1-yl}-1,1-dimethyl-2-oxo-ethyl)-2,4-dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfonamide;
- 2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfinic acid [1-(4-piperazin-1-yl-piperidine-1-carbonyl)-cyclopentyl]-amide;
- 2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfinic acid (1-{4-[4-(2-S-amino-6-guanidino-hexanoyl)-piperazin-1-yl]-piperidine-1-carbonyl}-cyclopentyl)-amide;
- 2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfinic acid (1-{4-[4-(2-S-amino-5-guanidino-pentanoyl)-piperazin-1-yl]-piperidine-1-carbonyl}-cyclopentyl)-amide;
- 2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfinic acid [1-(4-piperidin-4-yl-piperazine-1-carbonyl)-cyclopentyl]-amide;
- 2,4-Dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfinic acid {2-[4-(2-guanidino-ethyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl}-amide;
- 2,4-Dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfinic acid (2-{4-[2-S-amino-5-(N',N''-diethyl-guanidino)-pentanoyl]-piperazin-1-yl}-1,1-dimethyl-2-oxo-ethyl)-amide;
- 2,4-Dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfinic acid (2-{4-[2-R-amino-5-(N',N''-diethyl-guanidino)-pentanoyl]-piperazin-1-yl}-1,1-dimethyl-2-oxo-ethyl)-amide;
- (2S)-N-(1-{4-[2-Amino-6-(N',N''-diethyl-guanidino)-hexanoyl]-piperazine-1-carbonyl}-cyclopentyl)-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide;

- N-(1-{4-[2-(S)Amino-6-(N',N''-diethyl-guanidino)-pentanoyl]-piperazine-1-carbonyl}-cyclopentyl)-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide;
- N-[2-[4-(2-(S)-Amino-6-dimethylamino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide;
- N-[2-[4-(3-(S)-Amino-6-dimethylamino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide;
- 10 - N-[2-[4-(3-(S)-Amino-6-dimethylamino-heptanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxy-methyl)-benzenesulfonamide;
- N-[2-[4-(2-(S)-Amino-5-guanidino-pentanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide;
- 15 - N-[2-[4-(2-(S)-Amino-6-guanidino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide;
- N-[2-[4-(2-(S)-Amino-5-dimethylamino-pentanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide;
- 20 - N-[2-[4-(2-(R)-Amino-5-guanidino-pentanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxy-methyl)-benzenesulfonamide;
- 25 - N-[2-[4-(3-(S)-Amino-6-guanidino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxy-methyl)-benzenesulfonamide;
- N-[2-[4-(3-(S)-Amino-7-guanidino-heptanoyl)-piperazin-1-yl]-1,1-

dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxy-methyl)-benzenesulfonamide;

- N-{2-[4-(4-2(Guanidino)ethyl)piperazin-1ylacetyl]-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfonamide;
- 5 - N-[1-[4-(2-(S)-Amino-5-guanidino-pentanoyl)-piperazine-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- N-[1-[4-(2-(S)-Amino-6-guanidino-hexanoyl)-piperazine-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 10 - N-[1-[4-(2-(S)-Amino-6-dimethylamino-hexanoyl)-piperazin-1-yl]-cyclopentyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 15 - N-[1-[4-(2-(S)-Amino-6-guanidino-hexanoyl)-piperazine-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- N-[1-[4-(2-(S)-Amino-6-dimethylamino-hexanoyl)-piperazin-1-yl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 20 - (R)-N-[4-(2-(S)-Amino-6-guanidino-hexanoyl)-piperazine-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- (R)-N-[1-[4-(2-(S)-Amino-6-dimethylamino-hexanoyl)-piperazine-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 25 - N-{2-[4-(4-2(Guanidino)ethyl)piperazin-1ylacetyl]-piperazin-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-

- ylloxymethyl)-benzenesulfonamide tetra trifluoroacetate;
- N-[1-[4-(2-(R)-Amino-6-amino-hexanoyl)-piperazine-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;-
  - 5 - N-[1-[4-(2-(R)-Amino-6-guanidino-hexanoyl)-piperazine-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - N-[2-[4-(3-(S)-Amino-6-guanidino-hexanoyl)-piperazin-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - 10 - N-[2-[4-(3-(S)-Amino-6-dimethylamino-hexanoyl)-piperazin-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - N-[1-[4-(6-Guanidino-hexanoyl)-piperazine-1-carbonyl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzene-sulfonamide bis trifluoroacetate;
  - 15 - N-[2-[4-(2-(S)-Amino-6-amino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - 20 - N-[2-[4-(2-(S)-Guanidino-6-guanidino-hexanoyl)-piperazin-1-yl]-1,1-dimethyl-2-oxo-ethyl]-2,4-dichloro-3-(2-methyl-quinolin-8-yloxy-methyl)-benzenesulfonamide tris trifluoroacetate;
  - (R)-N-[4-(3-(S)-Amino-6-guanidino-hexanoyl)-piperazine-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxy-methyl)-benzenesulfonamide tris trifluoroacetate;
  - 25 - (R)-N-{2-[4-(4-2(Guanidino)ethyl)piperazin-1ylacetyl)-piperazin-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tetra trifluoroacetate;

- (R)-N-[4-(3-(S)-Amino-6-amino-hexanoyl)-piperazine-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- (R)-N-[4-(3-(S)-Guanidino-6-guanidino-hexanoyl)-piperazine-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- (R)-N-[4-(3-(S)-Amino-6-dimethylamino-hexanoyl)-piperazine-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 10 - (S)-N-[4-(2-(S)-Amino-6-guanidino-hexanoyl)-piperazine-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxy-methyl)-benzenesulfonamide tris trifluoroacetate;
- (S)-N-[4-(3-(S)-Amino-6-guanidino-hexanoyl)-piperazine-1-carbonyl]-1-methyl-propyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxy-methyl)-benzenesulfonamide tris trifluoroacetate;
- 15 - 2,4-Dichloro-N-{1-[4-(3(S),6-diamino-hexanoyl)-piperazine-1-carbonyl]-cyclopentyl}-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 2,4-Dichloro-N-{1-[4-(3(S),6-diguanidino-hexanoyl)-piperazine-1-carbonyl]-cyclopentyl}-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 20 - N-(1-{4-[3-(S),6-Bis-(N',N''-dicyclohexyl-guanidino)-hexanoyl]-piperazine-1-carbonyl}-cyclopentyl)-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- 25 - N-{1-[4-(2-(S)Amino-3-piperidin-4-yl-propionyl)-piperazine-1-carbonyl]-cyclopentyl}-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- N-{1-[4-(2-Trimethylammonium-acetyl)-piperazine-1-carbonyl]-



- cyclopentyl}-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide bis trifluoroacetate;
- N-{1-[4-(4-Trimethylammonium-butanoyl)-piperazine-1-carbonyl]-cyclopentyl}-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide bis trifluoroacetate;
  - 5 - N-{1-[4-(3(R)-Hydroxy-4-trimethylammonium-butanoyl)-piperazine-1-carbonyl]-cyclopentyl}-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide bis trifluoroacetate;
  - N-[1-[4-(2-(S)-Dimethylamino-6-dimethylamino-hexanoyl)-piperazin-10 1-yl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxy-methyl)-benzenesulfonamide tris trifluoroacetate;
  - {5-[(1-{1-[2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzensulfonylamino]-cyclopentanecarbonyl}-piperidin-4-ylmethyl)-dimethyl-ammonium]pentyl}-trimethyl-ammonium tris trifluoroacetate;
  - 15 - {5-[(1-{1-[2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzensulfonylamino]-cyclopentanecarbonyl}-piperidine-4-carbonyl)-amino]-pentyl}-trimethyl-ammonium bis trifluoroacetate;
  - N-[1-[4-(2-(S)-Trimethylammonium-6-trimethylammonium-hexanoyl)-piperazin-1-yl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - 20 - N-[1-[4-(2-(R)-Trimethylammonium-6-trimethylammonium-hexanoyl)-piperazin-1-yl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - N-[1-[4-(2-(S)-Trimethylammonium-6-amino-hexanoyl)-piperazin-1-yl]-cyclopentyl]-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
  - 25 - N-{1-[4-(6-Trimethylammonium-hexanoyl)-piperazine-1-carbonyl]-cyclopentyl}-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-

benzenesulfonamide bis trifluoroacetate;

- N-(6-Amino-hexyl)-4-{2-[2,4-dichloro-3-(2-methyl-quinolin-8-yloxy-methyl)-benzenesulfonylamino]-2-methyl-propionyl}-piperazine-1-carboxamidine;

- 5
- N-[2-(3-Amino-propylamino)-ethyl]-4-{2-[2,4-dichloro-3-(2-methyl-quinolin-8-yloxymethyl)-benzenesulfonylamino]-2-methyl-propionyl}-piperazine-1-carboxamidine;

- N-(3-Amino-propyl)-4-{2-[2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonylamino]-2-methyl-propionyl}-piperazine-1-carboxamidine bis trifluoroacetate;

10

- N-(6-Amino-hexyl)-4-{1-[2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonylamino]-cyclopentanecarbonyl}-piperazine-1-carboxamidine bis trifluoroacetate;

15

- N-[2-(3-Amino-propylamino)-ethyl]-4-{1-[2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonylamino]-cyclopentanecarbonyl}-piperazine-1-carboxamidine bis trifluoroacetate;
- N-[2-(4-{1-[2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonylamino]-cyclopentanecarbonyl}-piperazin-1-yl)-ethyl]-4-methyl-piperazine-1-carboxamidine bis trifluoroacetate;

20

- 2,4-Dichloro-N-{1-[4-(2(R),6-diamino-hexyl)-piperazine-1-carbonyl]-cyclopentyl}-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tetra trifluoroacetate;

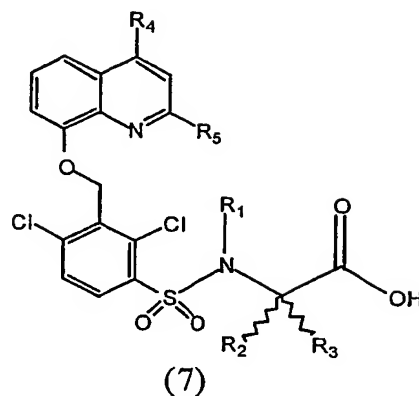
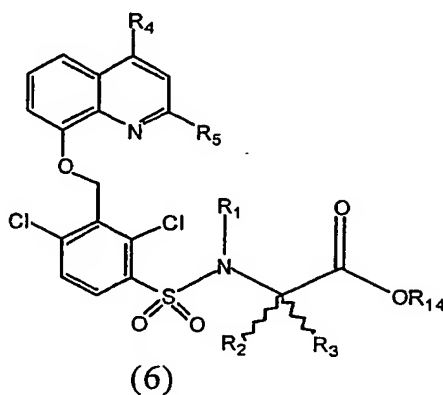
- 2,4-Dichloro-N-{1-[4-(2(R),6-diguanidino-hexyl)-piperazine-1-carbonyl]-cyclopentyl}-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tetrahydrochloride

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- 2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-N-{1-[4-(2-piperazin-1-yl-ethyl)-piperazine-1-carbonyl]-cyclopentyl}-benzenesulfonamide tetra trifluoroacetate;

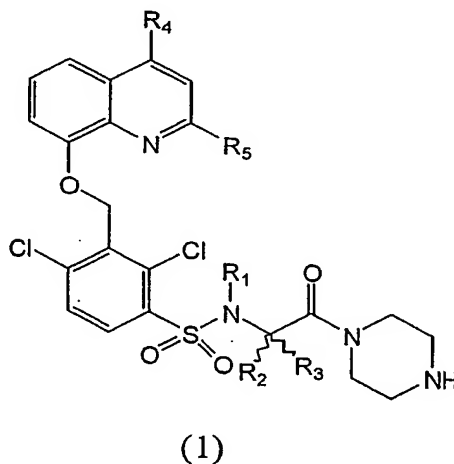
- 2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-N-{1-[4-(2-piperidin-4-yl-ethyl)-piperazine-1-carbonyl]-cyclopentyl}-benzene-sulfonamide;
- {3-[(4-{1-[2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonylamino]-cyclopentanecarbonyl}-piperazine-1-carboximi-  
5 doyl)-amino]propyl}-trimethyl-ammonium tris trifluoroacetate;
- 4-{1-[2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzene-sulfonylamino]-cyclopentanecarbonyl}-N-(3-dimethylamino-propyl)-piperazine-1-carboxamidine tris trifluoroacetate;
- 10 - N-(1-{4-[(5-Amino-pentylamino)-methyl]-piperidine-1-carbonyl}-cyclopentyl)-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-benzenesulfonamide tris trifluoroacetate;
- N-{1-[4-(4-Amino-piperidin-1-ylmethyl)-piperidine-1-carbonyl]-cyclopentyl}-2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-  
15 benzenesulfonamide tris trifluoroacetate;
- 2,4-Dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-N-(1-{4-[(5-methylamino-pentylamino)-methyl]-piperidine-1-carbonyl}-cyclopentyl)-benzenesulfonamide tris trifluoroacetate;
- Amino-6-(4-{1-[2,4-dichloro-3-(2,4-dimethyl-quinolin-8-yloxymethyl)-  
20 benzenesulfonylamino]-cyclopentanecarbonyl}-piperazin-1-yl)-6-oxo-hexyl]-trimethyl-ammonium bis trifluoroacetate.

## 8. Intermediates of general formula (6) or (7)



5 in which  $R_1$  can be H or methyl,  $R_2$  and  $R_3$  can be independently methyl, ethyl or, together with the carbon atom which they are linked to, form a cyclopentyl group, and  $R_{14}$  is methyl or t-butyl.

## 9. Intermediates of general formula (1)



10 in which  $R_1$  can be H or methyl,  $R_2$  and  $R_3$  can be independently methyl, ethyl or, together with the carbon atom which they are linked to, form a cyclopentyl group.

15 10. Pharmaceutical compositions containing as active ingredient a compound as claimed in any one of claims 1 to 7, together with pharmaceutically acceptable excipients, for the treatment of disorders in which the use of a bradykinin antagonist is needed.

11. The use of a compound as claimed in any one of claims 1 to 7, for the

preparation of pharmaceutical compositions for the treatment of disorders in which the use of a bradykinin antagonist is needed.

12. The use of a compound as claimed in claim 11 for the preparation of pharmaceutical compositions for the treatment of inflammatory, allergic and autoimmune disorders.

13. The use of a compound as claimed in claim 11 for the preparation of pharmaceutical compositions for the treatment of disorders such as asthma and chronic bronchitis, allergic, vasomotor and viral rhinitis, obstructive pulmonary disease (COPD), rheumatoid arthritis, chronic inflammatory diseases of the bowel (Crohn's disease and ulcerative colitis), glomerulonephritis, psoriasis, rash, acute and chronic cystitis, hepatic cirrhosis, glomerulopathies and pulmonary fibrosis, arteriosclerosis, both acute and chronic pain, septic, allergic and post-traumatic shocks, hepatic cirrhosis by hepatorenal syndrome, hypotension, alopecia, or as anticancer and antiangiogenetics.